L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:211446 CAPLUS
DOCUMENT NUMBER: 137:28399
TITLE: CDB-4124 and its putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity: in vitro comparison to mifepristone and CDB-2914
AUTHOR(S): Attardi, Barbara J.; Burgenson, Janet; Hild, Sheri A.; Reel, Jerry R.; Blye, Richard P.
CORPORATE SOURCE: Molecular Endocrinology Laboratory, BIOQUAL, Inc., Rockville, MD, 20850, USA
SOURCE: Molecular and Cellular Endocrinology (2002), 188(1-2), 111-123
CODEN: MCEND6; ISSN: 0303-7207
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

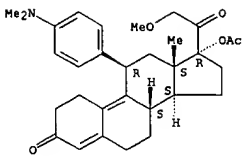
AB To obtain selective antiprogestins, we have examd. the in vitro antiprogestational/antiglucocorticoid properties of two novel compds., CDB-4124 and the putative monodemethylated metabolite, CDB-4453, in transcription and receptor binding assays and compared them to CDB-2914 and mifepristone. All four antiprogestins bound with high affinity to rabbit uterine progestin receptors (PR) and recombinant human PR-A and PR-B (rhPR-A, rhPR-B) and were potent inhibitors of R5020-induced transactivation of the PRE2-tk-luciferase (PRE2-tk-LUC) reporter plasmid and endogenous alk. phosphatase prodn. in T47D-CO human breast cancer cells. None of these compds. exhibited agonist activity in these cells. Induction of luciferase activity was potentiated about five-fold by 8-Br-cAMP under basal conditions and to the same extent in the presence of the PR antagonists. Mifepristone bound to rabbit thymic glucocorticoid receptors (GR) with approx. twice the avidity of the CDB antiprogestins. Inhibition of GR-mediated transcription of PRE2-tk-LUC was assessed in HepG2 human hepatoblastoma cells. Mifepristone exhibited greater antiglucocorticoid activity than CDB-2914, 4124, and 4453, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124 and CDB-4453, as well as CDB-2914, are potent antiprogestins in vitro, but show considerably less antiglucocorticoid activity than mifepristone.

IT **198414-31-2**, CDB-4124 **365416-28-0**, CDB 4453
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity in transcription and receptor binding assays)

RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

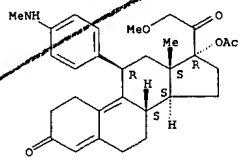
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 365416-28-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:747811 CAPLUS
DOCUMENT NUMBER: 135:304062
TITLE: Preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
PATENT ASSIGNEE(S): Secretary of Health and Human Services, USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074840	A2	20011011	WO 2001-US8681	20010316
WO 2001074840	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001045849	A5	20011015	AU 2001-45849	20010316
EP 1265911	A2	20021218	EP 2001-918812	20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529604	T2	20031007	JP 2001-572529	20010316

PRIORITY APPLN. INFO.: US 2000-526855 A 20000317
WO 2001-US8681 W 20010316

OTHER SOURCE(S): MARPAT 135:304062

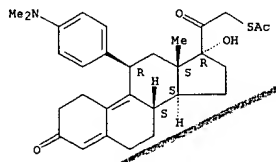
AB 19-Norpregna-4,9-diene-3,20-dione derivs. [I; R1 = OMe, SMe, NMe2, NHMe, NC4H8, NC5H10, NC4H8O, CHO, CH(OH)Me, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NC5H10; R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6; R6 = alkyl, alkoxy ester, alkoxy; R3 = alkyl, hydroxy, alkoxy and acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps which showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

IT **198414-09-4P**, CDB 4102 **198414-31-2P**, CDB 4124
198414-39-0P, CDB 4167 **365416-60-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)

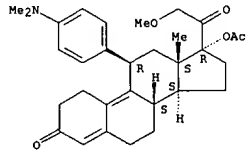
RN 198414-09-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



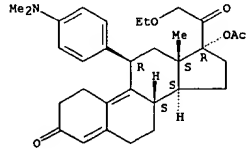
RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-39-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

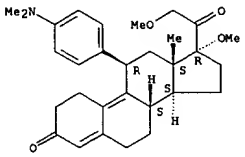
Absolute stereochemistry.



RN 365416-60-0 CAPLUS

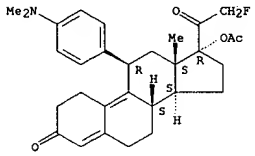
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **198414-03-8P**, CDB 4058 **198414-05-0P**, CDB 3876
198414-07-2P, CDB 4059 **198414-11-8P**, CDB 4101
198414-22-1P, CDB 4030 **198414-33-4P**, CDB 4125
198414-34-5P, CDB 4152 **198414-41-4P** **198414-43-6P**
, CDB 4031 **365415-80-1P** **365416-26-8P**
365416-28-0P **365416-61-1P** **365416-67-7P**
365416-68-8P **365416-69-9P** **365416-70-2P**
365416-71-3P **365416-72-4P** **365416-73-5P**
365416-74-6P **365416-75-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
RN 198414-03-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

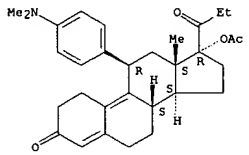
Absolute stereochemistry.



RN 198414-05-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

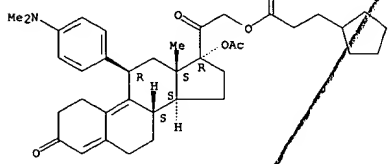
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry. Rotation (+).



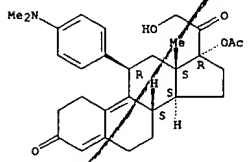
RN 198414-33-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



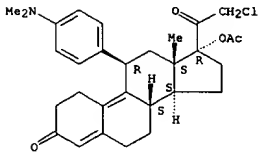
RN 198414-34-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



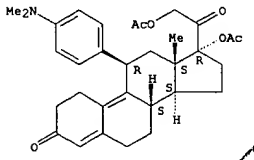
RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



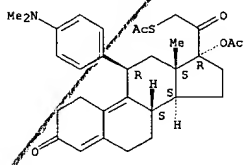
RN 198414-07-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

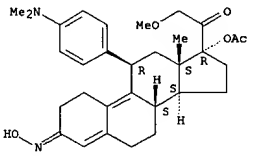
Absolute stereochemistry.



RN 198414-22-1 CAPLUS
CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

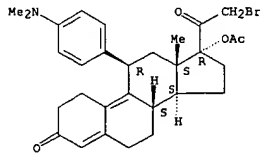
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.



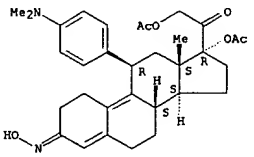
RN 198414-43-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



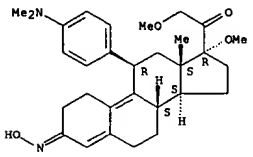
RN 365415-80-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



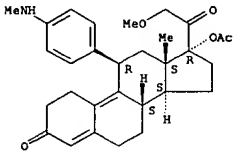
RN 365416-26-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry unknown.



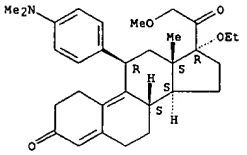
RN 365416-28-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



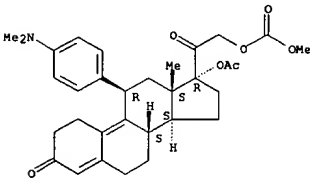
RN 365416-61-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethoxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



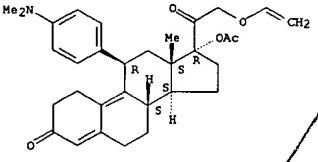
RN 365416-67-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



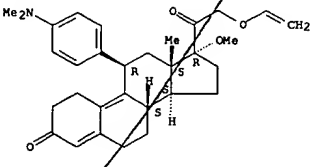
RN 365416-70-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-71-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

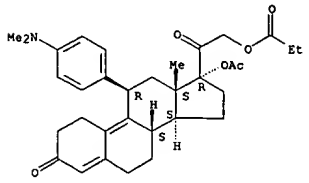


RN 365416-72-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

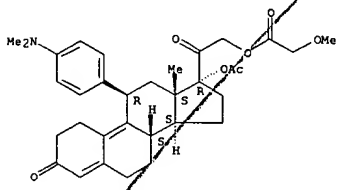
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(dimethylamino)phenyl]-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-68-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

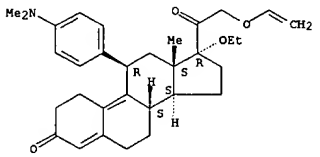
Absolute stereochemistry.



RN 365416-69-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

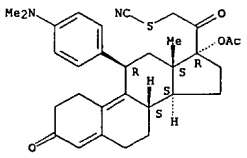
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



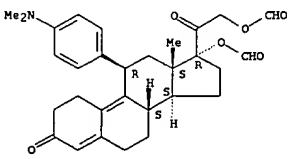
RN 365416-73-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-74-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-bis(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

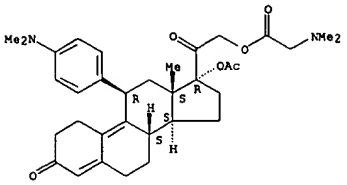
Absolute stereochemistry.



RN 365416-75-7 CAPLUS
CN Glycine, N,N-dimethyl-, (11.beta.)-17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-3,20-dioxo-19-norpregna-4,9-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

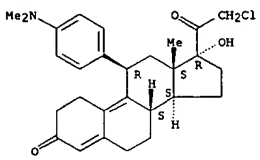
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **198413-96-6P 198413-97-7P 198413-98-8P**
198413-99-9P 198414-00-5P 198414-21-0P
198414-30-1P 198414-32-3P 198414-38-9P
198414-42-5P 365416-18-8P 365416-19-9P
365416-20-2P 365416-21-3P 365416-22-4P
365416-48-4P 365416-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)

RN 198413-96-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

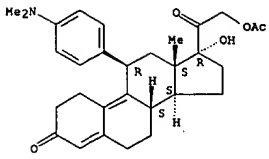
Absolute stereochemistry.



RN 198413-97-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

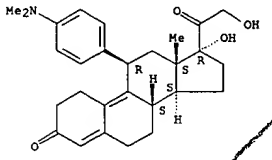
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



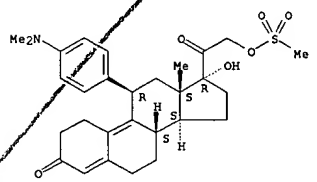
RN 198413-98-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198413-99-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

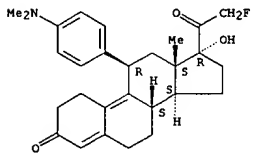
Absolute stereochemistry.



RN 198414-00-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

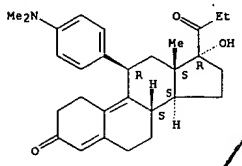
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



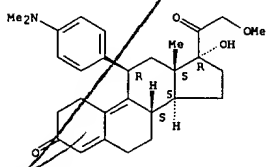
RN 198414-21-0 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-30-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

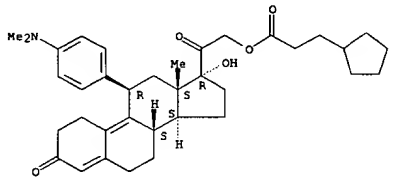
Absolute stereochemistry.



RN 198414-32-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

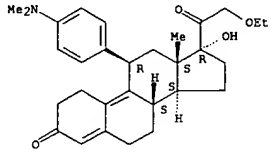
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



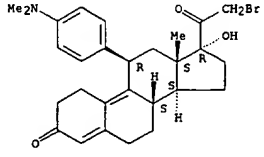
RN 198414-38-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

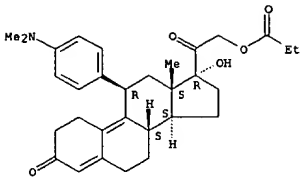
Absolute stereochemistry.



RN 365416-18-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

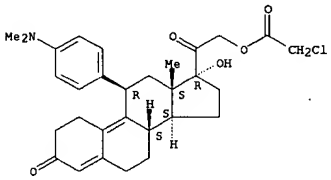
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 365416-19-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



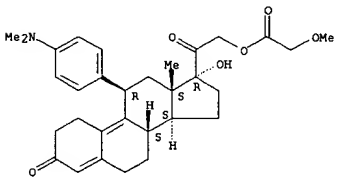
RN 365416-20-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

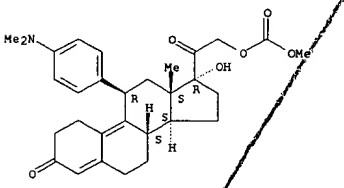
RN 365416-48-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-49-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

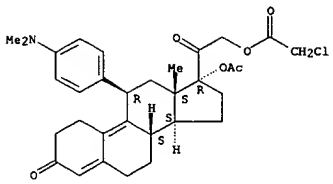
Absolute stereochemistry.



IT **365416-23-5P 365416-27-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
RN 365416-23-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(formyloxy)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

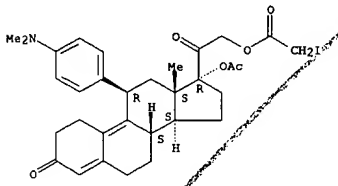
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



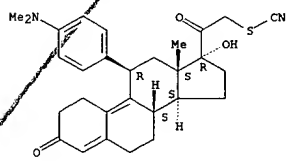
RN 365416-21-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(iodoacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

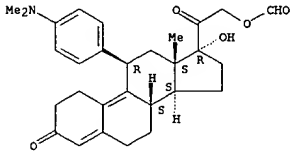


RN 365416-22-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

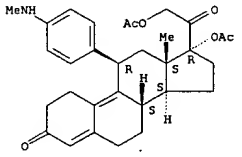


L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 365416-27-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



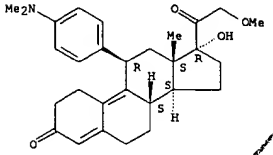
L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:489415 CAPLUS
DOCUMENT NUMBER: 135:61476
TITLE: Process for the preparation of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for preparing such intermediates
INVENTOR(S): Kim, Hyun Koo; Rao, Pemmaraju N.; Cessac, James W.; Simmons, Anne Marie
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047945	A1	20010705	WO 2000-US35479	20001229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001026048	A5	20010709	AU 2001-26048	20001229
EP 1242444	A1	20020925	EP 2000-989551	20001229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003060646	A1	20030327	US 2002-169139	20020627

PRIORITY APPLN. INFO.: US 1999-173470P P 19991229
WO 2000-US35479 W 20001229

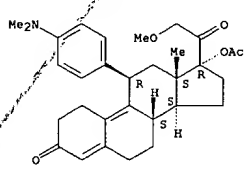
OTHER SOURCE(S): CASREACT 135:61476
AB A process for prepg. the antiprogestational agent, 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-dien-3,20-dione (I), intermediates useful in the process, and processes for prepg. such intermediates was described. I was prepd. via a multistep synthetic sequence starting from cynaohydrin II. The synthetic sequence involved replacing the cyanohydrin group of II with a chloroacetyl group and a hydroxyl group; replacing the chloro group of the resulting compd. with an acetoxy group; deacetylating the resulting compd.; selectively ketalizing the resulting compd.; selectively methylating the 21-hydroxy group of the resulting compd.; reducing the 20-keto group of the resulting compd.; epoxidizing the resulting compd.; introducing a N,N-dimethylaminophenyl group at the 11-position and opening the epoxide; deketalizing the resulting compd.; selectively oxidizing the 20-hydroxyl group to a keto group; and acetylating the resulting compd.
IT **198414-30-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
RN 198414-30-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **198414-31-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:401850 CAPLUS
DOCUMENT NUMBER: 133:17687
TITLE: Preparation of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; O'Reilly, Jill M.
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

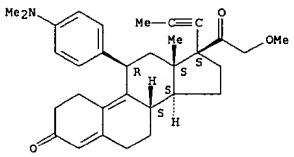
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034306	A1	20000615	WO 1999-US28535	19991203
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6172052	B1	20010109	US 1998-205395	19981204
CA 2358466	AA	20000615	CA 1999-2358466	19991203
EP 1135403	A1	20010926	EP 1999-964047	19991203
EP 1135403	B1	20030730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 512697	A	20030131	NZ 1999-512697	19991203
AT 246201	E	20030815	AT 1999-964047	19991203
PT 1135403	T	20031231	PT 1999-99964047	19991203

PRIORITY APPLN. INFO.: US 1998-205395 A 19981204
WO 1999-US28535 W 19991203

OTHER SOURCE(S): MARPAT 133:17687
AB Novel 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [R1 = NMe2, NHMe, NH2; R2 = Me, CF3, CH2OH; R3 = H, Me, OMe, OAc; R4 = H, Me, F, Cl; X = O, H2, NOH, NOMe] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from estrone in many steps. The relative progesterone binding activity of II was 313% of promegestone.
IT **273209-12-4P 273209-13-5P 273209-14-6P**
273209-15-7P 273209-16-8P 273209-17-9P
273209-30-6P 273209-31-7P 273209-32-8P
273209-33-9P 273209-34-0P 273209-35-1P
273209-67-9P 273209-68-0P 273209-69-1P
273209-70-4P 273209-71-5P 273209-72-6P
273209-85-1P 273209-86-2P 273209-87-3P
273209-88-4P 273209-89-5P 273209-90-8P
273210-36-9P 273210-37-0P 273210-38-1P
273210-39-2P 273210-40-5P 273210-41-6P
273210-54-1P 273210-55-2P 273210-56-3P
273210-57-4P 273210-58-5P 273210-59-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids with antiprogestational activity)

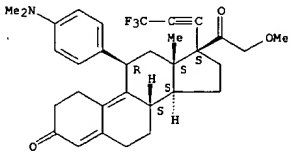
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 273209-12-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



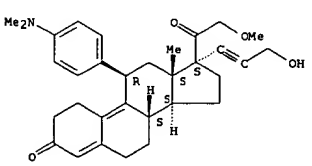
RN 273209-13-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273209-14-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

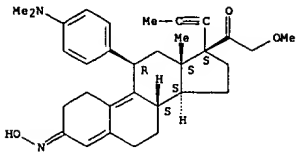
Absolute stereochemistry.



RN 273209-15-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

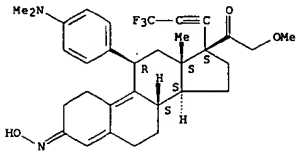
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.



RN 273209-16-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

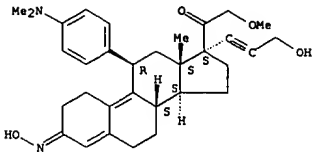
Absolute stereochemistry.
Double bond geometry unknown.



RN 273209-17-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

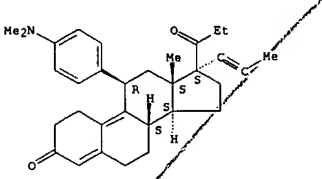
Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



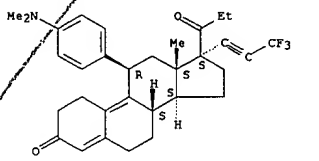
RN 273209-30-6 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



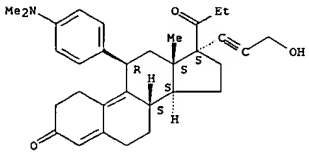
RN 273209-31-7 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



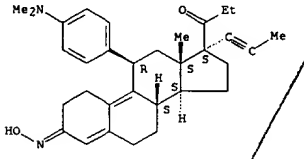
RN 273209-32-8 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



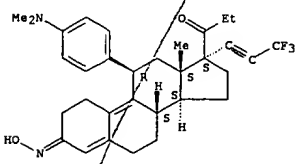
RN 273209-33-9 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 273209-34-0 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

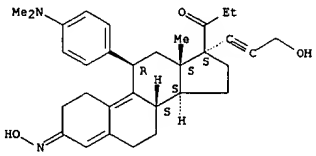
Absolute stereochemistry.
Double bond geometry unknown.



RN 273209-35-1 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

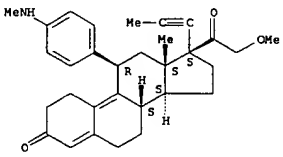
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.



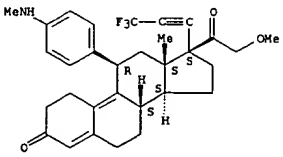
RN 273209-67-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273209-68-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

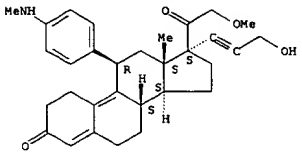
Absolute stereochemistry.



RN 273209-69-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

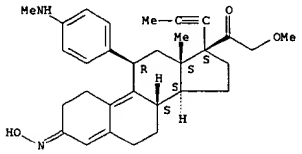
Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



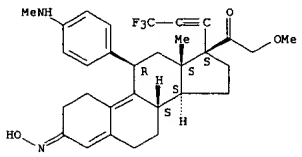
RN 273209-70-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 273209-71-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

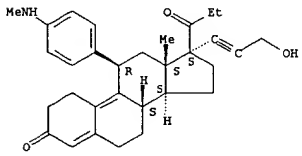


RN 273209-72-6 CAPLUS

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

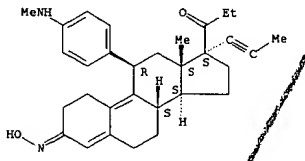
RN 273209-87-3 CAPLUS
CN Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



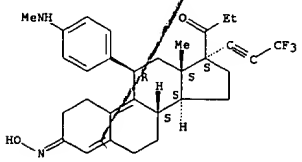
RN 273209-88-4 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



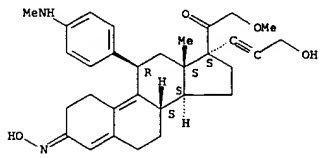
RN 273209-89-5 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



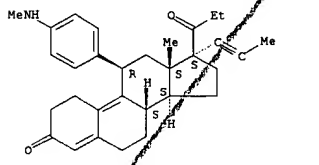
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



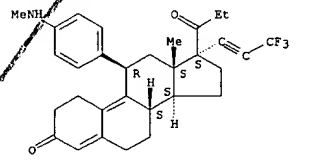
RN 273209-85-1 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273209-86-2 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

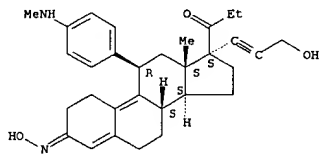
Absolute stereochemistry.



L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

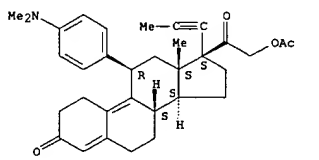
RN 273209-90-8 CAPLUS
CN Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



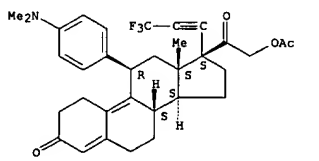
RN 273210-36-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273210-37-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

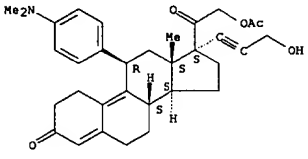
Absolute stereochemistry.



L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

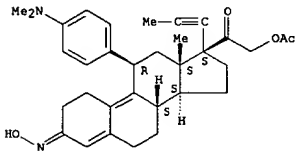
RN 273210-38-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273210-39-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

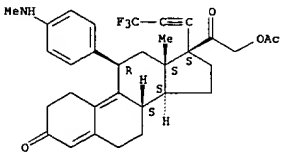


RN 273210-40-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

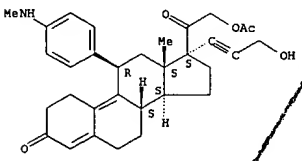
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



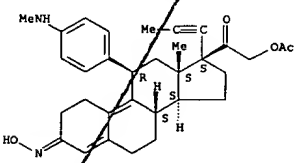
RN 273210-56-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



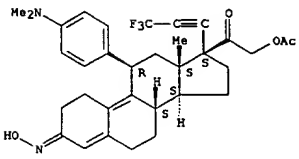
RN 273210-57-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



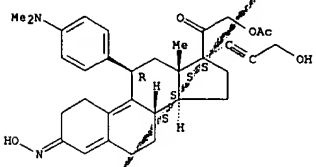
RN 273210-58-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



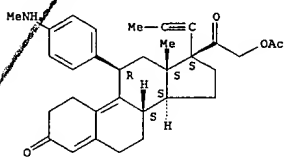
RN 273210-41-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 273210-54-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

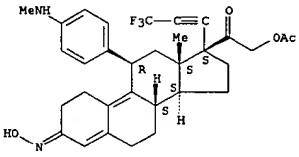
Absolute stereochemistry.



RN 273210-55-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-

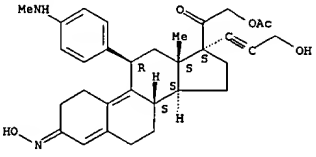
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 273210-59-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:576939 CAPLUS
DOCUMENT NUMBER: 131:199885
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng; Lee, Yue-wei; Tallent, C. Ray
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

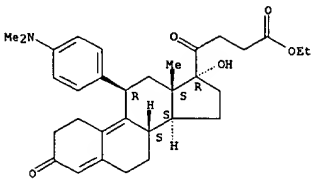
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945022	A1	19990910	WO 1999-US3732	19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020328	A	20000201	US 1998-35949	19980306
CA 2322862	AA	19990910	CA 1999-2322862	19990305
AU 9928715	A1	19990920	AU 1999-28715	19990305
AU 767660	B2	20031120		
EP 1060186	A1	20001220	EP 1999-909531	19990305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9908598	A	20011002	BR 1999-8598	19990305
JP 2002505334	T2	20020219	JP 2000-534564	19990305

PRIORITY APPLN. INFO.: US 1998-35949 A 19980306
WO 1999-US3732 W 19990305
OTHER SOURCE(S): MARPAT 131:199885
AB 20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC50 of 0.7 nM.
IT **240806-28-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity)
RN 240806-28-4 CAPLUS
CN 19,21-Dinorchola-4,9-dien-24-oic acid, 11-[4-(dimethylamino)phenyl]-17-hydroxy-3,20-dioxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CM 1

CRN 240806-27-3
CMF C32 H41 N O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

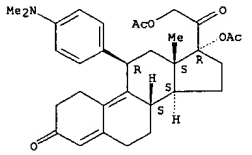
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971119	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430
US 2002025951	A1	20020228	US 1999-180132	19990524
GR 3034562	T3	20010131	GR 2000-402252	20001004

PRIORITY APPLN. INFO.: US 1996-16628P P 19960501
WO 1997-US7373 W 19970430
OTHER SOURCE(S): MARPAT 127:358992
AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.
IT **198414-07-2P 198414-09-4P 198414-31-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

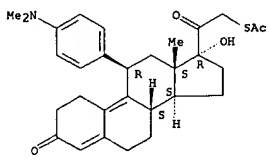
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-07-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



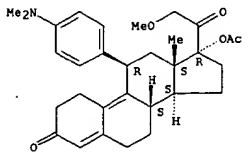
RN 198414-09-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

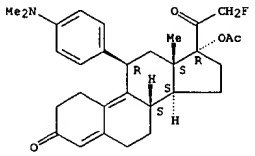


IT **198414-03-8P 198414-05-0P 198414-11-6P 198414-22-1P 198414-32-3P 198414-33-4P**

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
198414-34-5P 198414-39-0P 198414-43-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)

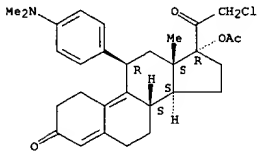
RN 198414-03-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-05-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

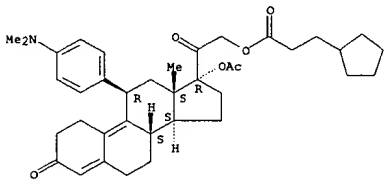


RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

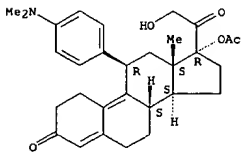
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



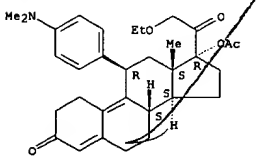
RN 198414-34-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



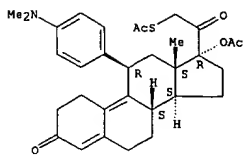
RN 198414-39-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



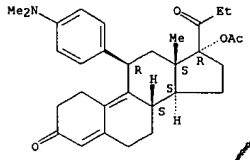
RN 198414-43-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



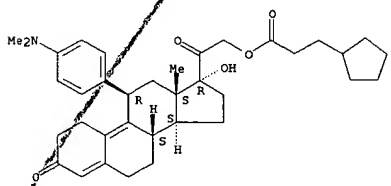
RN 198414-22-1 CAPLUS
CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 198414-32-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

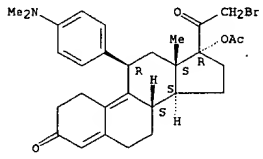
Absolute stereochemistry.



RN 198414-33-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

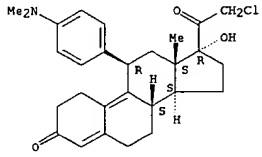
Absolute stereochemistry.



IT 198413-96-6P 198413-97-7P 198413-98-8P
198413-99-9P 198414-00-5P 198414-21-0P
198414-30-1P 198414-38-9P 198414-42-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of progesterone derivs. as antiprogestational agents)

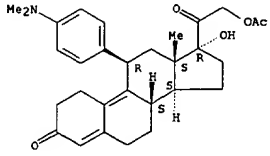
RN 198413-96-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198413-97-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

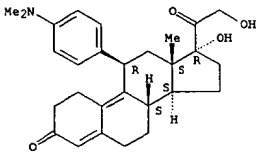
Absolute stereochemistry.



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

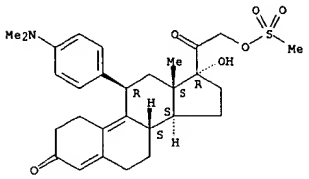
RN 198413-98-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198413-99-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

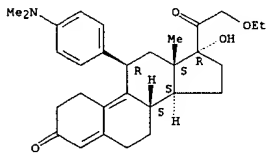
Absolute stereochemistry.



RN 198414-00-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

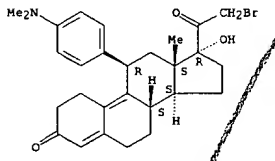
Absolute stereochemistry.

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



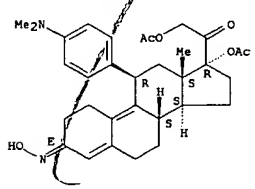
RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



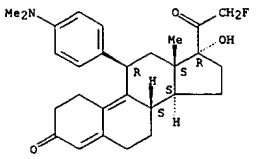
IT **198414-40-3P 198414-41-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



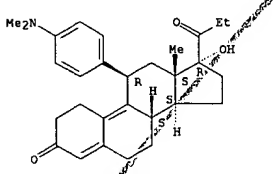
RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



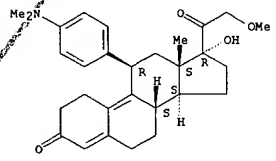
RN 198414-21-0 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-30-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

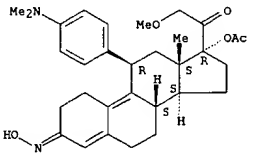
Absolute stereochemistry.



RN 198414-38-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NAME)

Absolute stereochemistry.
Double bond geometry unknown.



=> d ibib ab fqhit 1-12

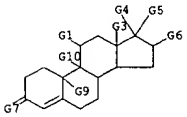
L9 ANSWER 1 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 138:390583 MARPAT
TITLE: Skin-lightening agents containing substances which reduce tyrosinase and cosmetics containing the agents
INVENTOR(S): Sudo, Shigeru
PATENT ASSIGNEE(S): Mikimoto Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003155222	A2	20030527	JP 2001-351904	20011116

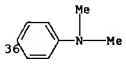
PRIORITY APPLN. INFO.: JP 2001-351904 20011116

AB Skin-lightening agents contain substances which reduce amt. of tyrosinase of human melanocytes. The substances may be steroids which show antagonistic activity on progesterone/glucocorticoid receptors and may be represented by I [R1 = ethynyl, furyl, C3-6 cycloalkyl, Ph, naphthyl, C6H4Ph, C.ltoreq.6 alkyl which may have several unsatd. bond, alkenyl; R2 = Me, Et; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, hydroxyacetyl, carboxyalkoxy, hydroxyalkyl; R4 = H, OH, C.ltoreq.12 alkyl, alkenyl, alkynyl; R5 = .alpha.- or .beta.-H, Me; X = O, syn- or anti-hydroxyimino, C1-45 alkoxyimino; A and B are bonded together to form .alpha.-epoxy group or optional double bond]. Skin-lightening cosmetics contg. the agents are also claimed. Mifepristone significantly decreased amt. of tyrosinase in normal human epidermal melanocytes and the action was effective in the presence of forskolin or .alpha.MSH. A cream contg. mifepristone was also formulated.

MSTR 1



G1 = 36



G4 = 21

L9 ANSWER 1 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G5 = alkenyl<(-12)> (SO G13)
G7 = O
MPL: claim 3

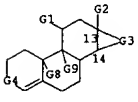
L9 ANSWER 2 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 129:50105 MARPAT
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
INVENTOR(S): Oberlander, Claude; Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826783	A1	19980625	WO 1997-FR2320	19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757400	A1	19980626	FR 1996-15649	19961219
FR 2757400	B1	19991217		
AU 9855632	A1	19980715	AU 1998-55632	19971217
EP 892641	A1	19990127	EP 1997-952078	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

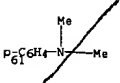
PRIORITY APPLN. INFO.: FR 1996-15649 19961219
WO 1997-FR2320 19971217

AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.

MSTR 1

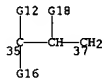


G1 = 61

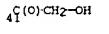


G3 = 35-13 37-14

L9 ANSWER 2 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G4 = C(O)
G12 = 41



G16 = CN
DER: and pharmaceutically acceptable acid addition salts
MPL: claim 4
NTE: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

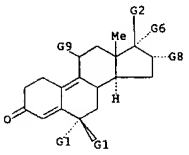
L9 ANSWER 3 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 128:188869 MARPAT
TITLE: Mixed agonists of the progesterone receptor and assays for them
INVENTOR(S): McDonnell, Donald P.; Wagner, Brandee L.
PATENT ASSIGNEE(S): Duke University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805679	A2	19980212	WO 1997-US13754	19970805

W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1996-23206P 19960805

AB A third class of PR-ligand (i.e. mixed agonist) is identified which induces a progesterone receptor conformation distinct from that induced by a PR agonist or antagonist; the agonists are estra-4,9-dien-3-one derivs. PR mixed agonists exhibit partial agonist activity which is influenced by cell context. These compds. provide useful pharmacol. profiles for treating progesterone related diseases and/or conditions, such as uterine proliferation from estrogen administration, endometriosis, breast cancer, fibroids, endometrial cancer, and brain meningiomas. The agonists can also be used as contraceptives. Assays are provided to screen for PR mixed agonists. Mol. designs are provided to convert a PR antagonist to a PR mixed agonist.

MSTR 1

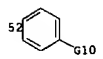


G2 = 30

30 C(O)-G3

G3 = alkyl<(1-6)> (SO)
G6 = CO2H
G9 = 52

L9 ANSWER 3 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G10 = NMe2
MPL: claim 4

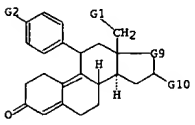
L9 ANSWER 4 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407

PRIORITY APPLN. INFO.: FR 1994-4156 19940408

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

MSTR 7

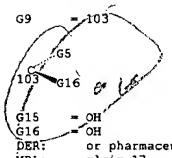


G2 = alkylamino<(1-4)>
G5 = 93

93 C(O)-CH2-G15

L9 ANSWER 4 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G9 = 103



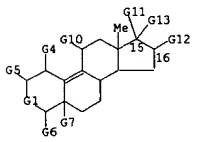
G15 = OH
G16 = OH
DER: or pharmaceutically acceptable addition salts or N-oxides
MPL: claim 17

L9 ANSWER 5 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 123:218391 MARPAT
TITLE: Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
INVENTOR(S): Cohn, Suzanne Bourgeois; Gruol, Donald J.
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517192	A1	19950629	WO 1994-US14624	19941219
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9514395	A1	19950710	AU 1995-14395	19941219
PRIORITY APPLN. INFO.:			US 1993-173243	19931222
			WO 1994-US14624	19941219

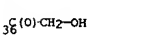
AB Certain steroid-like compds. [I; R1 = H; R2 = OR; or R1R2 = :O; R = H, lower alkyl, Me3Si; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and C10; R4 = OR', C4-18 cyclic org. group contg. O, N, P, or Si; R' = lower alkyl, Me3Si; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CH3, C(O)CH2OH, (substituted) hydrocarbyl; R9 = H, halo, or absent if double bond or epoxide bridge joins C9 and C10] are capable of inhibiting the P-glycoprotein-assocd. efflux pump which is considered responsible for multidrug resistance. Chemotherapy can be enhanced by facilitating the accumulation of drug at the target site, with reduced or eliminated competition by the drug efflux system. Thus RU 38486, an antiprogestin, at 5 .mu.M facilitated killing of multidrug-resistant S7CD-5 murine thymoma cells by 20 .mu.M puromycin.

MSTR 1B



G1 = C(O)
G10 = Ph (SO (1-2) G16)
G11 = OH

L9 ANSWER 5 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
G13 = 36



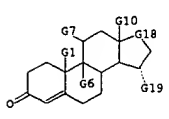
G16 = loweralkylamino
MPL: claim 1

L9 ANSWER 6 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

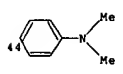
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504536	A1	19950216	WO 1994-EP2513	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9474968	A1	19950228	AU 1994-74968	19940728
AU 687088	B2	19980219		
EP 712311	A1	19960522	EP 1994-924819	19940728
EP 712311	B1	19981007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501172	T2	19970204	JP 1995-506200	19940728
AT 171873	E	19981015	AT 1994-924819	19940728
ES 2124905	T3	19990216	ES 1994-924819	19940728
US 5741787	A	19980421	US 1996-581631	19960118
PRIORITY APPLN. INFO.:			EP 1993-202304	19930804
			EP 1994-924819	19940728
			WO 1994-EP2513	19940728

AB Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.

MSTR 1



G7 = 44



L9 ANSWER 6 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
G11 = alkyl<(1-6)> (SO (1-) G12)
G16 = alkylcarbonyl<(1-5)> (SO (1-) G17)
G18 = 39

MPL: claim 2

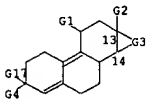
L9 ANSWER 7 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 446124	A2	19910911	EP 1991-400594	19910305
EP 446124	A3	19920527		
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2659233	A1	19910913	FR 1990-2783	19900306
FR 2659233	B1	19940121		
CA 2037549	AA	19910907	CA 1991-2037549	19910305
AU 9172608	A1	19910912	AU 1991-72608	19910305
AU 642975	B2	19931104		
ZA 9101603	A	19920527	ZA 1991-1603	19910305
JP 04211610	A2	19920803	JP 1991-62496	19910305
RU 2037295	C1	19950619	RU 1991-4895041	19910305
CN 1055665	A	19911030	CN 1991-102108	19910306
HU 59006	A2	19920428	HU 1991-729	19910306

PRIORITY APPLN. INFO.: FR 1990-2783 19900306

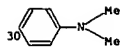
AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described. 17.beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.

MSTR 1C

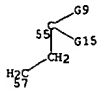


G1 = 30

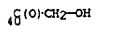
L9 ANSWER 7 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



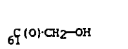
G3 = 55-13 57-14



G9 = 40



G15 = 61



G4 +G17= O
DER: and protected derivatives
DER: and acid addition salts
MPL: claim 1

L9 ANSWER 8 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing antiestrogenic and antiprogestomimetic steroids
INVENTOR(S): Cohen, Gerard; Dubois, Jean Luc
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027		
AT 9002313	A	19950415	AT 1990-2313	19901115
AT 400298	B	19951127		

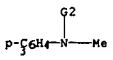
PRIORITY APPLN. INFO.: FR 1989-14976 19891115

AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2, and 0.5 g 17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.

MSTR 1A

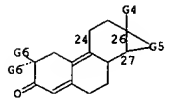
G1—G3

G1 = 3

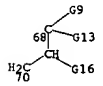


G3 = 24

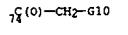
L9 ANSWER 8 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



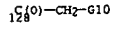
G5 = 68-26 70-27



G9 = 74



G10 = OH
G13 = 128



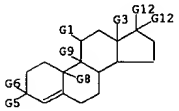
MPL: claim 6

L9 ANSWER 9 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417003	A2	19910313	EP 1990-402449	19900906
EP 417003	A3	19911204		
EP 417003	B1	19940629		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
FR 2651435	A1	19910308	FR 1989-11699	19890907
FR 2651435	B1	19940422		
US 5173483	A	19921222	US 1990-578894	19900905
CA 2024728	AA	19910308	CA 1990-2024728	19900906
AU 9062259	A1	19910314	AU 1990-62259	19900907
AU 623805	B2	19920521		
JP 03099015	A2	19910424	JP 1990-236004	19900907
JP 3032258	B2	20000410		

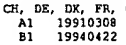
PRIORITY APPLN. INFO.: FR 1989-11699 19890907
AB Anti-progestomimetic compds., e.g. I [R1 = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.) ring; A:C = oxo (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progesterone or a progestomimemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat after a very short delay period, and LH levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented.

MSTR 1E

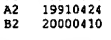


L9 ANSWER 9 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

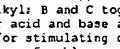
G1 = 85



G10 = NMe2
G12 = 96



G14 = 98



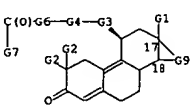
G15 = OH
G5 +G6 = O
DER: or acid or base addition salts
MPL: claim 2
NTE: oxo formed by G5 and G6 may be protected as a ketal

L9 ANSWER 10 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:9125 MARPAT
TITLE: Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylamino]alkanoates as antiglucocorticoids
INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique, Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 414606	A2	19910227	EP 1990-402328	19900822
EP 414606	A3	19910724		
EP 414606	B1	19941102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2651233	A1	19910301	FR 1989-11173	19890823
FR 2651233	B1	19911213		
CA 2022648	AA	19910224	CA 1990-2022648	19900803
ZA 9006341	A	19911030	ZA 1990-6341	19900810
US 5166146	A	19921124	US 1990-568597	19900816
JP 03090097	A2	19910416	JP 1990-217281	19900820
JP 3026997	B2	20000327		
IL 95451	A1	19950731	IL 1990-95451	19900821
AU 9061189	A1	19910228	AU 1990-61189	19900822
AU 634569	B2	19930225		
HU 54706	A2	19910328	HU 1990-5275	19900822
HU 208154	B	19930830		
ES 2063313	T3	19950101	ES 1990-402328	19900822
CN 1051362	A	19910515	CN 1990-107161	19900823
CN 1033808	B	19970115		
RU 2041236	C1	19950809	RU 1992-5011511	19920518

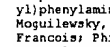
PRIORITY APPLN. INFO.: FR 1989-11173 19890823
OTHER SOURCE(S): CASREACT 115:9125
AB The title compds. [I; R1 = aliph. hydrocarbyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or 6- membered ring; Z = (un)salified CO2H; n = 1-6] were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCH2CO2Me to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

MSTR 1A

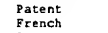


G3 = phenylene
G4 = NH
G6 = (1-6) CH2
G9 = 39-18 37-17

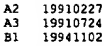
L9 ANSWER 10 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



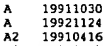
G10 = (1-2) 45



G13 = 53



G16 = 68



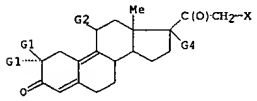
MPL: claim 1

L9 ANSWER 11 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 114:229227 MARPAT
TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them
INVENTOR(S): Claussner, Andre; Leclaire, Jacques; Nedelec, Lucien; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 389370	A1	19900926	EP 1990-400784	19900322
EP 389370	B1	19940427		
R: CH, DE, FR, GB, IT, LI, NL				
FR 2644789	A1	19900928	FR 1989-3742	19890322
FR 2644789	B1	19950203		
JP 02273693	A2	19901108	JP 1990-68508	19900320
JP 2848907	B2	19990120		
US 5108996	A	19920428	US 1990-497562	19900321
PRIORITY APPLN. INFO.:			FR 1989-3742	19890322

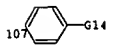
OTHER SOURCE(S): CASREACT 114:229227
AB The title compds. [I; R1, R2 = H, Me; R11 = (poly)(hetera)hydrocarbyl; one of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; F = (substituted) pyrimidinyl, pyridyl] were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2,4-bis(1-pyrrolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. K2CO3 at ambient temp. for 2 h to give V [R3 = 3-[4-[2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl; R4 = OH]. At 5 .times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx.47.5%.

MSTR 3



G2 = 107

L9 ANSWER 11 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



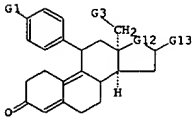
G4 = OH
G14 = NMe2
MPL: claim 13
NTE: the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

L9 ANSWER 12 OF 12 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

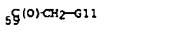
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.:			DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615
			DE 1984-3446661	19841218

OTHER SOURCE(S): CASREACT 110:213172
AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = Q; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR 2



G1 = alkylamino<(1-4)>
G4 = 59



G8 = OH
G11 = OH
G12 = 66

L9 ANSWER 12 OF 12 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

GGA = 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE>
DER: and acid addition salts
MPL: claim 18

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L2            5 S L1
L3           84 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 07:21:37 ON 23 MAR 2004
L4            6 S L3

     FILE 'USPATFULL' ENTERED AT 07:23:17 ON 23 MAR 2004
L5            4 S L3
L6            0 S L5 NOT L4

     FILE 'BEILSTEIN' ENTERED AT 07:23:33 ON 23 MAR 2004
L7            0 S L1 FULL

     FILE 'MARPAT' ENTERED AT 07:24:08 ON 23 MAR 2004
L8           16 S L3 FULL
L9           12 S L8 NOT L4
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